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=> s l1 ful
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100.0% PROCESSED      190 ITERATIONS                                  5 ANSWERS
SEARCH TIME: 00.00.01

L2            5 SEA SSS FUL L1

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L2   ANSWER 1 OF 5  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   740746-58-1  REGISTRY
ED   Entered STN:  06 Sep 2004
CN   3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-, 1-oxide (9CI)  (CA
     INDEX NAME)
FS   3D CONCORD
MF   C12 H13 N3 O3 S
CI   COM
SR   CA
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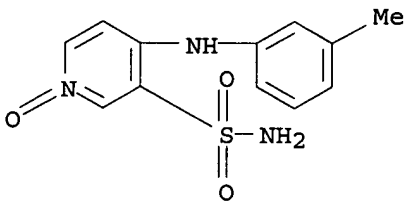


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L2   ANSWER 2 OF 5  REGISTRY  COPYRIGHT 2006 ACS on STN
RN   327602-58-4  REGISTRY
ED   Entered STN:  16 Mar 2001
CN   3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-, monosodium salt (9CI)
     (CA INDEX NAME)
MF   C12 H13 N3 O2 S . Na
SR   CA
LC   STN Files:   CA, CAPLUS
CRN  (72811-73-5)
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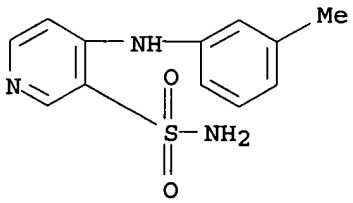

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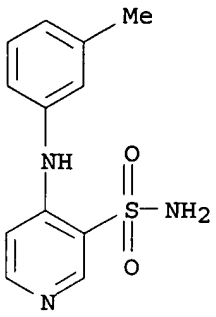
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2006 ACS on STN
RN 160822-47-9 REGISTRY
ED Entered STN: 14 Feb 1995
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)
MF C12 H13 N3 O2 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, CASREACT
CRN (72811-73-5)



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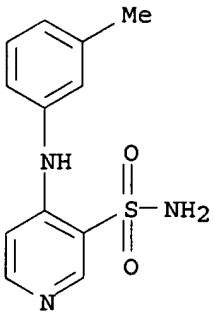
3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

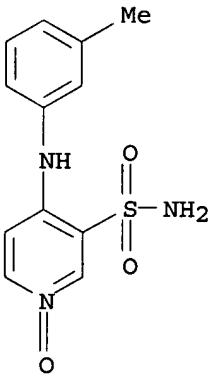
L2 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2006 ACS on STN
RN 72811-73-5 REGISTRY
ED Entered STN: 16 Nov 1984
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Sulfonamido-4-(3-methylanilino)pyridine
CN BM 960102
FS 3D CONCORD
MF C12 H13 N3 O2 S
CI COM
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMCATS, CSCHEM, PS, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

18 REFERENCES IN FILE CA (1907 TO DATE)
18 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2006 ACS on STN
RN 58155-58-1 REGISTRY
ED Entered STN: 16 Nov 1984
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-, 1-oxide, monosodium salt (9CI) (CA INDEX NAME)
MF C12 H13 N3 O3 S . Na
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
CRN (740746-58-1)



● Na

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 5 SEA SSS FUL L1

=> d 1-5

L2 ANSWER 1 OF 5 REGISTRY COPYRIGHT 2006 ACS on STN
RN 740746-58-1 REGISTRY
ED Entered STN: 06 Sep 2004
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-, 1-oxide (9CI) (CA INDEX NAME)
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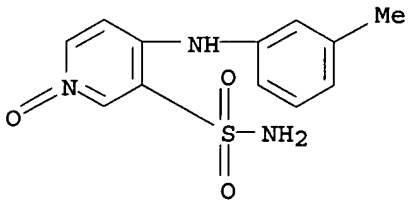
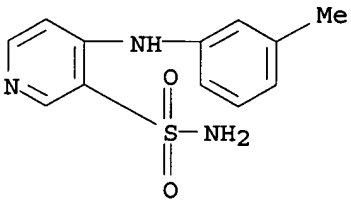
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 2 OF 5 REGISTRY COPYRIGHT 2006 ACS on STN
RN 327602-58-4 REGISTRY
ED Entered STN: 16 Mar 2001
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)
MF C12 H13 N3 O2 S . Na
SR CA
LC STN Files: CA, CAPLUS
CRN (72811-73-5)



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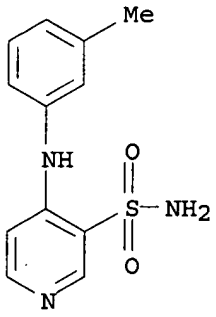
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 3 OF 5 REGISTRY COPYRIGHT 2006 ACS on STN
RN 160822-47-9 REGISTRY
ED Entered STN: 14 Feb 1995

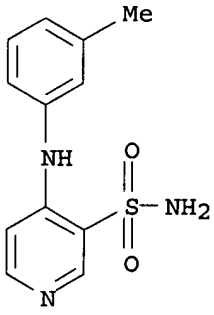
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)
MF C12 H13 N3 O2 S . Cl H
SR CA
LC STN Files: CA, CAPLUS, CASREACT
CRN (72811-73-5)



● HCl

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

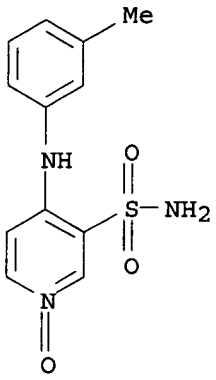
L2 ANSWER 4 OF 5 REGISTRY COPYRIGHT 2006 ACS on STN
RN 72811-73-5 REGISTRY
ED Entered STN: 16 Nov 1984
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 3-Sulfonamido-4-(3-methylanilino)pyridine
CN BM 960102
FS 3D CONCORD
MF C12 H13 N3 O2 S
CI COM
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMCATS, CSCHEM, PS, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

18 REFERENCES IN FILE CA (1907 TO DATE)
18 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L2 ANSWER 5 OF 5 REGISTRY COPYRIGHT 2006 ACS on STN
RN 58155-58-1 REGISTRY
ED Entered STN: 16 Nov 1984
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-, 1-oxide, monosodium salt (9CI) (CA INDEX NAME)
MF C12 H13 N3 O3 S . Na
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL
CRN (740746-58-1)



● Na

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus

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FULL ESTIMATED COST	176.88	177.09

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FILE COVERS 1907 - 30 Jul 2006 VOL 145 ISS 6

FILE LAST UPDATED: 28 Jul 2006 (20060728/ED)

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L3 20 L2

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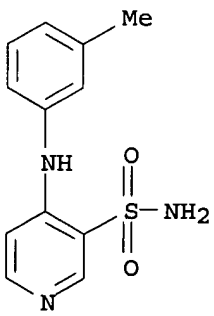
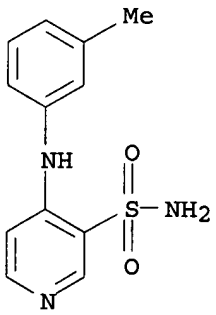
L3 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1175695 CAPLUS
DN 144:341105
TI Supramolecular structures of three isomeric 4-(methylphenylamino)pyridine-3-sulfonamides
AU Kosutic Hulita, Nada; Danilovski, Aleksandar; Filic, Darko; Marinkovic, Marina; Mestrovic, Ernest; Dumic, Miljenko
CS PLIVA Research and Development Ltd., Zagreb, HR-10000, Croatia
SO Acta Crystallographica, Section C: Crystal Structure Communications (2005), C61(11), o648-o651
CODEN: ACSCEE; ISSN: 0108-2701
PB Blackwell Publishing Ltd.
DT Journal
LA English
AB The structures of the three title isomers, 4-(2-methylanilino)pyridine-3-sulfonamide, (I), 4-(3-methylanilino)pyridine-3-sulfonamide, (II), and 4-(4-methylanilino)pyridine-3-sulfonamide, (III), all C12H13N3O2S, differ in their H-bonding arrangements. In all three mols., the conformation of the 4-aminopyridine-3-sulfonamide moiety is conserved by an intramol. N-H···O H bond and a C-H···O interaction. In the supramol. structures of all three isomers, similar C(6) chains are formed via intermol. N-H···N H bonds. N-H···O H bonds lead to C(4) chains in (I), and to R22(8) centrosym. dimers in (II) and (III). In each isomer, the overall effect of all H bonds is to form layer structures.
IT 72811-73-5
RL: PRP (Properties)
(crystal structure of)
RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1060761 CAPLUS
DN 144:263959
TI 4-(3-Methylanilino)pyridine-3-sulfonamide
AU Tang, Gu Ping; Gu, Jian Ming
CS Institute of Chemical Biology and Pharmaceutical Chemistry, Zhejiang University, Hangzhou, Zhejiang, 310028, Peop. Rep. China
SO Acta Crystallographica, Section E: Structure Reports Online (2005), E61(10), o3140-o3141
CODEN: ACSEBH; ISSN: 1600-5368
URL: http://journals.iucr.org/e/issues/2005/10/00/ob6579/index.html
PB Blackwell Publishing Ltd.
DT Journal; (online computer file)
LA English
AB Crystals of the title compound are triclinic, space group P.hivin.1, with a 6.714(3), b 8.630(4), c 11.403(4) Å, α 98.640(11), β 102.57(2), γ 102.911(12)°; Z = 2, dc = 1.423; R = 0.070, Rw(F2) = 0.180 for 2055 reflections. The dihedral angle between the pyridine and benzene rings is 62.1(1)°. Mols. are linked via N-H···N and N-H···O hydrogen bonds, forming a ribbon motif along the a axis.
IT 72811-73-5
RL: PRP (Properties)
(crystal structure of)
RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2005:1028131 CAPLUS
DN 143:326220
TI Process for the preparation of torsemide and related intermediates
IN Che, Daqing; Guntoori, Bhaskar Reddy; Duncan, Sammy Chris
PA Brantford Chemicals Inc., Can.
SO U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005209460	A1	20050922	US 2004-800740	20040316

OS CASREACT 143:326220

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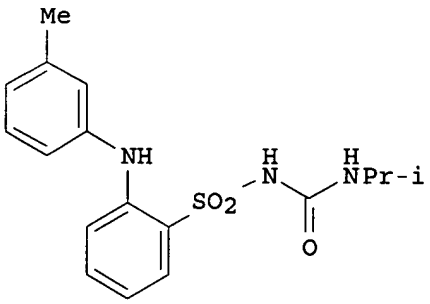

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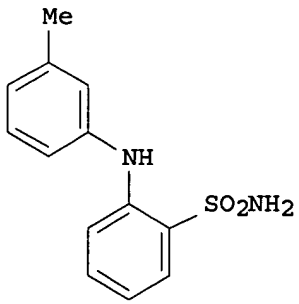


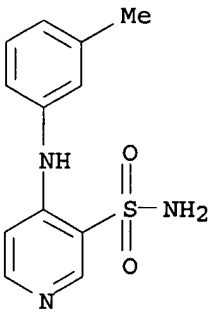
II

AB Torsemide (I) and its salts was prepared in a process by: a) reacting II with iso-Pr isocyanate in the presence of an alkali carbonate or bicarbonate and an organic solvent to form an alkali torsemide mixture, b) recovering the alkali torsemide mixture, and c) if desired, recovering the torsemide by acidification of the alkali torsemide mixture Thus, II prepared from 4-chloro-3-pyridinesulfonamide and m-toluidine, was treated with iso-Pr isocyanate in acetone containing sodium carbonate was heated under reflux, generally 8-20h, to give 89% I.

IT 72811-73-5P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of torsemide and related intermediates)

RN 72811-73-5 CAPLUS

CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L3 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1020330 CAPLUS

DN 143:286295

TI Process for the preparation of torsemide and related intermediates

IN Duncan, Sammy Chris; Che, Daqing; Guntoori, Bhaskar Reddy

PA Brantford Chemicals Inc., Can.

SO Can. Pat. Appl., 12 pp.
CODEN: CPXXEB

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2460432	AA	20050910	CA 2004-2460432	20040310
				CA 2004-2460432	20040310

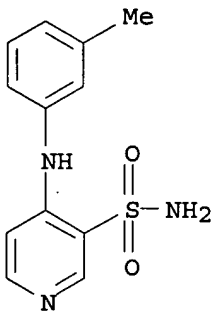
OS CASREACT 143:286295

AB A process for preparing torsemide or its salts comprises: (A) the addition reaction of reacting 4-[(3-methylphenyl)amino]-3-pyridinesulfonamide with iso-Pr isocyanate in the presence of a copper catalyst and/or Et3N, then adding an alkali carbonate (e.g., potassium carbonate) or bicarbonate and an organic solvent (e.g., acetone) to form an optionally isolated alkali torsemide salt; (B) recovering the alkali torsemide salt only if desired; and (C) recovering torsemide by acidification of the alkali torsemide mixture with a water-soluble organic acid (e.g., acetic acid).

IT 72811-73-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in a process for the preparation of torsemide and related intermediates)

RN 72811-73-5 CAPLUS

CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L3 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:878376 CAPLUS

DN 141:370519

TI Preparation of stable polymorphic form of torasemide

IN Yeh, Wen-Lung; Khumtaveeporn, Kanjai; McKenzie, David John

PA Torcan Chemical Ltd., Can.

SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004089904	A2	20041021	WO 2004-CA366	20040312
	WO 2004089904	A3	20041223		

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

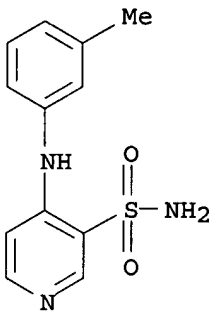
				CA 2003-2424644	A 20030407
	CA 2424644	AA	20041007	CA 2003-2424644	20030407

AB The stable polymorphic form of torasemide, modification I, is prepared from other, less stable torasemide forms, by forming a solution of the starting polymorphic form of torasemide in water and methanol, stirring for at least 20 h and then phase separating the solid torasemide modification I from the liquid medium. Torasemide modification I was prepared according to above method (yield =100%).

IT 72811-73-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of stable polymorphic form of torasemide)

RN 72811-73-5 CAPLUS

CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L3 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:525098 CAPLUS

DN 141:71454

TI Process for the preparation of torsemide form II

IN Lusanna, Massimiliano; Rainoni, Mauro; Gambuzza, Filippo

PA Cosma S.P.A., Italy

SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1433784	A1	20040630	EP 2003-29586	20031222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
			IT 2002-MI2749	A 20021223
US 2004138469	A1	20040715	US 2003-744613	20031222
			IT 2002-MI2749	A 20021223
CA 2454037	AA	20040623	CA 2003-2454037	20031223
			IT 2002-MI2749	A 20021223

OS CASREACT 141:71454

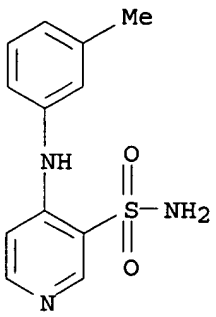
AB The present invention relates to a new process for the preparation of torsemide, in particular of pure and stable form II, which comprises direct synthesis of torsemide from 4-(3-methylphenylamino)-3-pyridine-sulfonamide. The new process envisages fewer steps than the processes

described in the prior art, with improved yields and good quality from the chemical and preferably polymorphous points of view.

IT 72811-73-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pure and stable form II of torsemide from 4-(3-methylphenylamino)-3-pyridine-sulfonamide)

RN 72811-73-5 CAPLUS

CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:377771 CAPLUS

DN 141:270973

TI Synthesis and diuretic and antihypertensive activities of novel anilinopyridyl sulfonylurea derivatives

AU Tang, Weifang; Lu, Tao; Ni, Peizhou; Zhang, Yumei

CS Department of Organic Chemistry, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China

SO Zhongguo Yaoke Daxue Xuebao (2003), 34(3), 208-213
CODEN: ZHYXE9; ISSN: 1000-5048

PB Zhongguo Yaoke Daxue

DT Journal

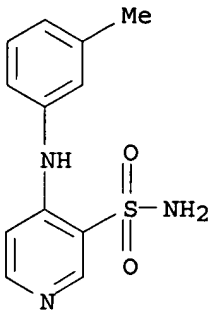
LA Chinese

OS CASREACT 141:270973

AB Fourteen novel derivs. of anilinopyridyl sulfonylurea were designed and synthesized based on hybridization principles with torasemide as lead compound Both of the secondary amine and sulfonylurea pharmacophores were maintained while substituted phenylethylamine or substituted phenyloxyalkyl group was incorporated, and the diuretic and antihypertensive activities were measured. All the target compds. were confirmed based on elemental anal. and spectral data. Preliminary pharmacol. test revealed that compds. 4- -N-(2-phenoxyethylaminocarbonyl)-3- pyridinesulfonamide and N-[2-(4-methoxyphenoxy)ethylaminocarbonyl]-4-(3-methylphenylamino)-3-pyridinesulfonamide displayed certain diuretic effect, and compds. N-[2-(3,4- dimethoxyphenoxy)ethylaminocarbonyl]-4-(3-methylphenylamino)-3- pyridinesulfonamide and N-isopropylaminocarbonyl-4-[2-(2- methoxyphenyl)ethylamino]-3-pyridinesulfonamide possessed, to some extent, antihypertensive activity.

IT 72811-73-5P, 3-Pyridinesulfonamide, 4-[(3-methyl)phenylamino]-
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and diuretic and antihypertensive activities of novel anilinopyridyl sulfonylurea derivs.)

RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

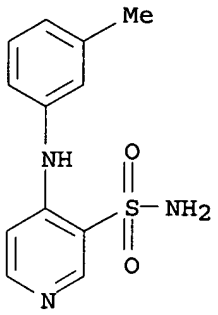


L3 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:931332 CAPLUS
DN 139:395829
TI Process for the preparation of highly pure torsemide
IN Gutman, Arie; Etinger, Marina; Goldring, Dmitry; Pertsikov, Boris; Yudovitch, Lev; Tishin, Boris; Vilensky, Alexander; Glozman, Alexander; Nisnevich, Gennady
PA Finetech Laboratories Ltd., Israel
SO PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003097603	A1	20031127	WO 2003-IL311	20030415
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			IL 2002-149771	A 20020521
AU 2003219507	A1	20031202	AU 2003-219507	20030415
			IL 2002-149771	A 20020521
			WO 2003-IL311	W 20030415

OS CASREACT 139:395829
AB The present invention provides a novel process for the preparation of highly pure torsemide by reacting of 4-m-tolylamino-3-pyridinesulfonamide with Ph isopropylcarbamate in the presence of lithium base. The present invention also provides a novel intermediate - torsemide lithium, also in hydrate or solvate form - which is a stable, solid compound, and may be simply isolated from the reaction mixture to give after acidification practically pure torsemide without further purification steps.
IT 72811-73-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(in preparation of highly pure torsemide)
RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

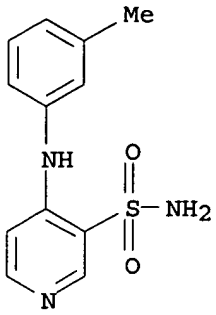


RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:545787 CAPLUS
DN 139:101033
TI Condensation process and catalysts for the preparation of 3-sulfonamido-4-(phenylamino)pyridines from halobenzenes and 3-sulfonamido-4-aminopyridines
IN Zetina-Rocha, Carlos B.; Guntoori, Bhaskar Reddy; Horne, Stephen E.
PA Brantford Chemicals Inc., Can.
SO U.S., 5 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

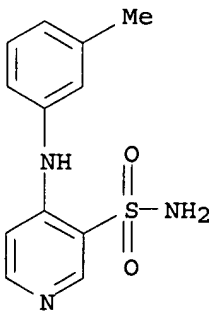
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6593475	B1	20030715	US 2002-293280	20021114
			CA 2002-2401546 A	20020906
CA 2401546	AA	20040306	CA 2002-2401546	20020906

OS CASREACT 139:101033; MARPAT 139:101033
AB 3-Sulfonamido-4-(phenylamino)pyridines [e.g., 3-sulfonamido-4-(3-methylphenylamino)pyridine], intermediates in the preparation of torsemide (no data), are prepared in high yield and selectivity by heating a 3-sulfonamido-4-aminopyridine (e.g., 3-sulfonamido-4-aminopyridine) with a halobenzene (e.g., 3-iodotoluene) in the presence of an alkaline compound (e.g., potassium carbonate), a copper-containing catalyst (e.g., powdered copper) and in the presence of a polar protic solvent (e.g., 1-butanol).
IT 72811-73-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(condensation process and catalysts for the preparation of 3-sulfonamido-4-(phenylamino)pyridines from halobenzenes and 3-sulfonamido-4-aminopyridines)
RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:311134 CAPLUS
DN 139:197336
TI Synthesis of a new, curative and effective medicine for hypertension and diuretic torasemide
AU Xiong, Zhenhu; Fei, Xuening
CS Tianjin Institute of Urban Construction, Tianjin, 300384, Peop. Rep. China
SO Zhongguo Yaowu Huaxue Zazhi (2002), 12(4), 219-221, 224
CODEN: ZYHZEF; ISSN: 1005-0108
PB Zhongguo Yaowu Huaxue Zazhi Bianjibu
DT Journal
LA Chinese
OS CASREACT 139:197336
AB Torasemide was prepared in 5 steps with high yield from 4-hydroxypyridine by sulfonation, chlorination, amidation, substitution with 3-methylaniline, and condensation with iso-Pr isocyanate.
IT 72811-73-5P, 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of torasemide)
RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

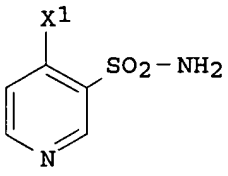


L3 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2001:713139 CAPLUS
DN 135:257163
TI Amidation process for preparing 4-chloro-3-pyridinesulfonamide and a method for the preparation of the diuretic torasemide

IN Kordova, Marco
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 2001070226	A1	20010927	WO 2001-US8866		20010320
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
				US 2000-190650P	P	20000320
				US 2000-211510P	P	20000614
	CA 2403382	AA	20010927	CA 2001-2403382		20010320
				US 2000-190650P	P	20000320
				US 2000-211510P	P	20000614
				WO 2001-US8866	W	20010320
	US 2002019537	A1	20020214	US 2001-812762		20010320
	US 6635765	B2	20031021			
				US 2000-190650P	P	20000320
				US 2000-211510P	P	20000614
	EP 1284733	A1	20030226	EP 2001-920556		20010320
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
				US 2000-190650P	P	20000320
				US 2000-211510P	P	20000614
				WO 2001-US8866	W	20010320
	JP 2003527425	T2	20030916	JP 2001-568424		20010320
				US 2000-190650P	P	20000320
				US 2000-211510P	P	20000614
				WO 2001-US8866	W	20010320
	NZ 521852	A	20041029	NZ 2001-521852		20010320
				US 2000-190650P	P	20000320
				US 2000-211510P	P	20000614
				WO 2001-US8866	W	20010320
	CN 1623987	A	20050608	CN 2004-10078738		20010320
				US 2000-190650P	P	20000320
				US 2000-211510P	P	20000614
	ZA 2002007683	A	20030925	ZA 2002-7683		20020925
				US 2000-190650P	P	20000320
	US 2003212277	A1	20031113	US 2003-428463		20030502
	US 6670478	B2	20031230			
				US 2000-190650P	P	20000320
				US 2000-211510P	P	20000614
				US 2001-812762	A3	20010320
	AU 2005203389	A1	20050818	AU 2005-203389		20050802
				US 2000-190650P	P	20000320
				AU 2001-47592	A3	20010320

OS CASREACT 135:257163; MARPAT 135:257163
GI



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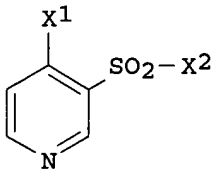
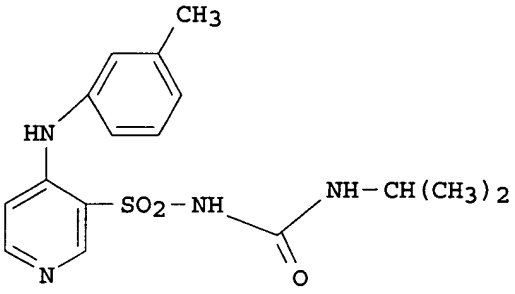


II



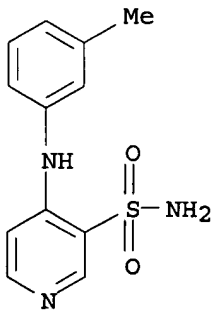
III

AB Torasemide intermediates (I; X1, X2 = Cl, F, Br) are prepared in high yield and selectivity by the amidation of a halopyridinesulfonyl halide (II) in an organic solvent with ammonia; torasemide (III) is prepared by the addition reaction of I (X1 = 3-NHC6H4CH3) in the presence of NEt3 in acetonitrile with iso-Pr isocyanate.

IT 72811-73-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation process for preparing 4-chloro-3-pyridinesulfonamide and a method for the preparation of the diuretic torasemide)

RN 72811-73-5 CAPLUS

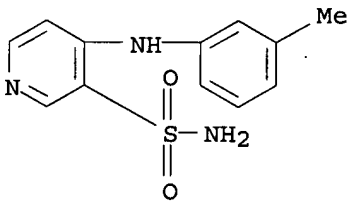
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:892169 CAPLUS
DN 134:187827
TI Isosterism among analogues of torasemide: conformational, electronic and lipophilic properties
AU Wouters, Johan; Michaux, Catherine; Durant, Francois; Dogne, Jean Michel; Delarge, Jacques; Masereel, Bernard
CS Laboratory of Molecular Structure and Department of Pharmacy, Facultes Universitaires Notre Dame de la Paix, Namur, B-5000, Belg.
SO European Journal of Medicinal Chemistry (2000), 35(10), 923-929
CODEN: EJMCA5; ISSN: 0223-5234
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
AB The structures, electronic (charges, mol. electrostatic potential, MOs) and lipophilic properties of three isostere analogs of torasemide were determined and the influence of the replacement of the sulfonyl urea group on the conformation and electronic properties of the mols. is discussed. Lipophilicity of the compds. seems to be the most discriminating property along the series and affects their pharmacol. activities (diuretic and anticonvulsant).
IT 327602-58-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(isosterism among analogs of torasemide and conformational and electronic and lipophilic properties in relation to pharmacol. activities as diuretics and anticonvulsants)
RN 327602-58-4 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

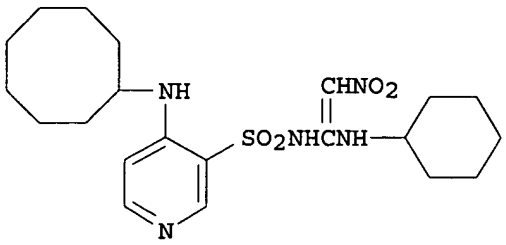


● Na

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1998:496547 CAPLUS
DN 129:202846
TI Design, Synthesis, and Anticonvulsant Activity of 1-(Pyrid-3-ylsulfonamido)-2-nitroethylenes
AU Masereel, Bernard; Wouters, Johan; Pochet, Lionel; Lambert, Didier
CS Department of Pharmacy, University of Namur FUNDP, Namur, 5000, Belg.
SO Journal of Medicinal Chemistry (1998), 41(17), 3239-3244
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society

DT Journal
LA English
GI

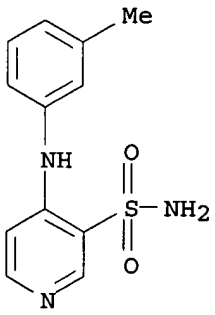


I

AB Lipophilic 1-(cycloalkylamino)-1-(pyrid-3-ylsulfonamido)-2-nitroethylenes were synthesized as bioisosteres of BM-34, an anticonvulsant sulfonylthiourea. Compound I (i.p.) emerged from the maximal electroshock seizure (MES) test with an ED50 of 8.25 mg/kg. Its anticonvulsant profile was similar to that of phenytoin (ED50 = 9.51 mg/kg) and of BM-34 (ED50 = 1.19 mg/kg): active in the MES test and inactive in seizures induced by s.c. injection of pentetrazole, strychnine, bicuculline, picrotoxin, or N-methyl-DL-aspartate. The neurotoxicity of I (TD50 = 113.8 mg/kg) was lower than that of phenytoin (TD50 = 65.5 mg/kg) but higher than that of BM-34 (TD50 = 147.2 mg/kg). Crystallog. study revealed that BM-401 (I) was a zwitterionic structure. Its sulfonamido nitroethylene side chain adopted a conformation which placed the two cycloalkyl rings face to face to form a single hydrophobic area.

IT 72811-73-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(1-(pyrid-3-ylsulfonamido)-2-nitroethylene anticonvulsants)

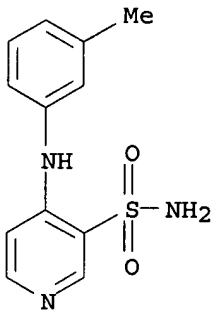
RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



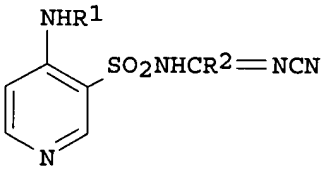
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1997:401455 CAPLUS
DN 127:86167
TI Video densitometric interpretation of thin-layer chromatograms
AU Mall, Thomas
CS Boehringer Mannheim GmbH, Abt. TF-CAA, Mannheim, D-68298, Germany

SO Duennschicht-Chromatographie (1996), 148-156. Editor(s): Kaiser, Rudolph E. Publisher: InCom-Bureau, Duesseldorf, Germany.
CODEN: 64PIAX
DT Conference
LA German
AB Due to improvements in image processing, results obtained today with video densitometry are comparable to those obtained with present-day densitometers with respect to linearity, precision, and reproducibility. It is applied to quant. anal. of thin-layer chromatograms of the contaminant BM 96.0102 in torasemide.
IT 72811-73-5, BM 960102
RL: ANT (Analyte); POL (Pollutant); ANST (Analytical study); OCCU (Occurrence)
(video densitometric interpretation of thin-layer chromatograms)
RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L3 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:589260 CAPLUS
DN 123:55665
TI Synthesis and pharmacology of pyrid-3-ylsulfonylcyanoguanidines as diuretics
AU Masereel, B.; Dupont, L.; Laeckmann, D.; Liegeois, J. F.; Pirotte, B.; de Tullio, P.; Delarge, J.
CS Department Medicinal Chemistry, University Liege, Liege, 4000, Belg.
SO European Journal of Medicinal Chemistry (1995), 30(4), 343-51
CODEN: EJMCA5; ISSN: 0223-5234
PB Elsevier
DT Journal
LA English
GI



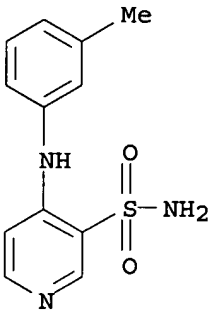
I

AB Title compds. I [R1 = alkyl, cycloalkyl, substituted Ph, CH2Ph; R2 = NHCHMe2, NHEt, piperidino] were prepared from the sulfonamides and

MeSCR2:NCN. Some I have significant diuretic activity. Lipophilicities and ionization consts. are also reported.

IT 72811-73-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and diuretic activity of pyridylsulfonylcyanoguanidines)

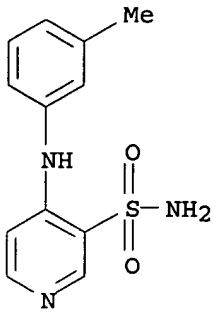
RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



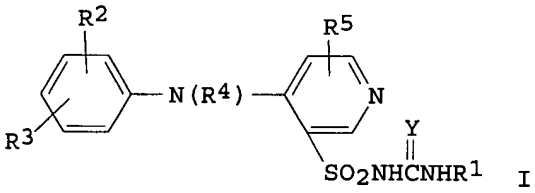
L3 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:301468 CAPLUS
DN 122:105616
TI Chemical structure and physico-chemical properties of torasemide
AU Kondo, Nobuo; Kimura, Masazo; Yamamoto, Madoka; Hashimoto, Hirotaka; Kawamata, Ken-ichiro; Kawano, Kensuke; Schmidt, Heinrich
CS New Product Res. Laboratories, Green Cross Corp., Hirakata, 573, Japan
SO Iyakuhin Kenkyu (1994), 25(9), 734-50
CODEN: IYKEDH; ISSN: 0287-0894
PB Nippon Koteisho Kyokai
DT Journal
LA Japanese
AB The chemical structure of torasemide, a diuretic agent, was confirmed on the basis of elemental anal., UV, IR, NMR and mass spectra. The physico-chemical properties were clarified by studying the appearance, solubility, hygroscopicity, photo-stability, m.p., thermal anal., pH of aqueous solution, dissociation constant, partition coefficient, polymorphism, specific optical rotation and impurities. Investigations into the stability of torasemide under severe conditions were also conducted to define the degradative pathway for the compound

IT 72811-73-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and physico-chemical properties of torasemide)

RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



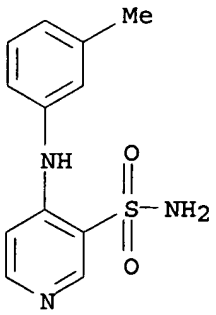
L3 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1988:160942 CAPLUS
DN 108:160942
TI Chemistry and pharmacological properties of the pyridine-3-sulfonylurea derivative torasemide
AU Delarge, J.
CS Inst. Pharm., State Univ. Liege, Liege, B-4000, Belg.
SO Arzneimittel-Forschung (1988), 38(1A), 144-50
CODEN: ARZNAD; ISSN: 0004-4172
DT Journal
LA English
OS CASREACT 108:160942
GI



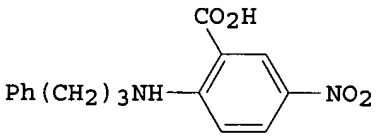
I

AB Out of a series of pyridine-3-sulfonylureas (I; R1 = Me, Et, Pr, etc.; R2 = 3-CF3, 3-NO2, 3-MeO, 3-Me, 3-Et, 2-, 3-, 4-Cl, etc.; R3 = H or 4-, 5-Cl; R4 = R5 = H or Me; Y = O or S) with diuretic activity torasemide (I; R1 = i-Pr, R2 = 3-Me, R3 = R4 = R5 = H, Y = O), which was prepared, proved to be one of the most active derivs. In the rat, urinary volume and electrolyte excretions increased linearly with the logarithm of the dose, thus resembling the profile of a high ceiling diuretic. Torasemide was equally potent both by oral and parenteral administration. Compared to furosemide, torasemide was 9-40 times more potent on weight basis in the rat. For the same natriuretic effect, however, K+ losses with torasemide were less than with furosemide. The diuretic effect of torasemide lasted longer than that of furosemide. The plasma elimination half-life of torasemide was .apprx.1.5 h in the rat and bioavailability was nearly complete. Torasemide was 98-99% bound to plasma proteins. No in vitro interaction was found with the coumarin derivative warfarin.
IT 72811-73-5P, 3-Sulfonamido-4-(3-methylanilino)pyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with isopropylcyanate)
RN 72811-73-5 CAPLUS

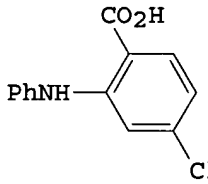
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L3 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1987:489293 CAPLUS
DN 107:89293
TI Chloride-channel blockers in the thick ascending limb of the loop of Henle. Structure-activity relationship
AU Wangemann, P.; Wittner, M.; Di Stefano, A.; Englert, H. C.; Lang, H. J.; Schlatter, E.; Greger, R.
CS Max-Planck-Inst. Biophys., Frankfurt/Main, D-6000, Fed. Rep. Ger.
SO Pfluegers Archiv (1986), 407(Suppl. 2), S128-S141
CODEN: PFLABK; ISSN: 0031-6768
DT Journal
LA English
GI



I



II

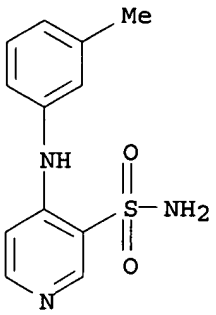
AB On the basis of previous findings with diphenylamine-2-carboxylate a search for compds. which possess an even higher affinity for the Cl--channels in the basolateral membrane of the thick ascending limb of the loop of Henle has been conducted. To quantify the inhibitory potency, measurements of the equivalent short circuit current, corresponding to the secondary active transport of Cl- and measurements of the voltage across the basolateral membrane have been performed. A survey of 219 compds. reveals that relatively simple modifications in the structure of diphenylamine-2-carboxylate led to very potent blockers such as 5-nitro-2-(3-phenylpropylamino)benzoate (I) which inhibits the short circuit current half maximally (IC50) at 8.10-8 mol/L. Structure activity studies suggest that these Cl- channel blockers possess several sites of interaction: The neg. charged carboxylate group, the secondary amine group which probably carries a pos. partial charge, and for the very potent agents (e.g. I and 5-chlorodiphenylamine-2-carboxylic acid (II)) an addnl. neg. partial charge at the resp. -Cl or -NO2 substituent. Finally, also an apolar interaction with an cycloalkyl or cycloaryl residue seems to be

required, and this site of interaction has a defined spacing from the secondary amino N.

IT 72811-73-5
RL: BIOL (Biological study)
(chloride channel blocking activity of, structure in relation to)

RN 72811-73-5 CAPLUS

CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L3 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1980:128730 CAPLUS

DN 92:128730

TI 4-Amino-3-sulfamoylpyridine derivatives and their use

IN Lapiere, Charles; Delarge, Jacques; Thunus, Leopold; Georges, Andre; De Ridder, Rene; Ghys, Arlette

PA Christiaens, A., S. A., Belg.

SO Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 3383	A2	19790808	EP 1979-200037	19790122
	EP 3383	A3	19790905		
	EP 3383	B1	19830209		
	R: DE, NL, SE				
				GB 1978-3918	19780131
	GB 1593609	A	19810722	GB 1978-3918	19780131
					A A
	ES 476658	A1	19790716	ES 1979-476658	19790109
				GB 1978-3918 A	19780131
	ZA 7900090	A	19801029	ZA 1979-90	19790109
				GB 1978-3918 A	19780131
	IL 56407	A1	19830515	IL 1979-56407	19790110
				GB 1978-3918 A	19780131
	AU 7943317	A1	19790809	AU 1979-43317	19790112
	AU 524287	B2	19820909		
				GB 1978-3918 A	19780131
	CA 1124720	A1	19820601	CA 1979-319934	19790119
				GB 1978-3918 A	19780131
	BE 873656	A1	19790723	BE 1979-193040	19790123
				GB 1978-3918 A	19780131
	US 4244950	A	19810113	US 1979-6154	19790124
				GB 1978-3918 A	19780131

	FR 2416225	A1	19790831	FR 1979-2109		19790126
	FR 2416225	B1	19811106			
				GB 1978-3918	A	19780131
	AT 7900594	A	19840115	AT 1979-594		19790126
	AT 375646	B	19840827			
				GB 1978-3918	A	19780131
	DD 141309	C	19800423	DD 1979-210692		19790129
				GB 1978-3918	A	19780131
	HU 20570	O	19810828	HU 1979-CI1905		19790130
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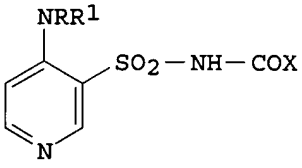
				GB 1978-3918	A	19780131

OS CASREACT 92:128730; MARPAT 92:128730
GI

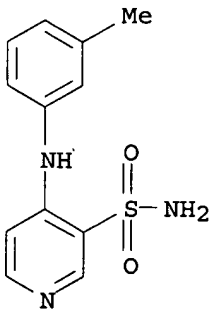


I

AB Diuretic sulfamoylpyridines I [R = H, alkyl, cycloalkyl, R2R3NCO, R2R3NSO2 (R2, R3 = alkyl; R2R3N = heterocyclyl); R1 = alkyl, haloalkyl, cycloalkyl, alkenyl, Ph, phenylalkyl, Ph2CH2, isobornyl, furfuryl, dialkylaminoalkyl; X = substituted amino, alkoxy or heterocyclyl] were prepared and showed diuretic activity at 25 mg/kg. in mice. Thus, refluxing 3-sulfamido-4-chloropyridine with 3-MeC6H4CH2NH2 in EtOH 9 h gave 3-sulfamido-4-(3-methylbenzyl)aminopyridine, which was treated with Me2CHNCO in CH2Cl2 containing Et3N 20 h at room temperature to give I (R = H, R1 = 3-MeC6H4CH2, X = Me2CHNH).

IT 72811-73-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with isopropylisocyanate)

RN 72811-73-5 CAPLUS
CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)



L3 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1976:59218 CAPLUS
DN 84:59218

TI Pyridine derivatives
IN Delarge, Jacques E.; Lapiere, Charles L.; Georges, Andre H.
PA Christiaens, A., S. A., Belg.
SO Ger. Offen., 39 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	DE 2516025	A1	19751106	DE 1975-2516025		19750412
	DE 2516025	C2	19881103			
				GB 1974-16836	A	19740417
	ZA 7502243	A	19760331	ZA 1975-2243		19750408
				GB 1974-16836	A	19740417
	BE 827844	A1	19751013	BE 1975-155330		19750411
				GB 1974-16836	A	19740417
	ES 436581	A1	19770401	ES 1975-436581		19750414
				GB 1974-16836	A	19740417
	IL 47084	A1	19790131	IL 1975-47084		19750414
				GB 1974-16836	A	19740417
	SE 7504409	A	19751020	SE 1975-4409		19750416
	SE 424320	B	19820712			
	SE 424320	C	19821021			
				GB 1974-16836	A	19740417
	NL 7504521	A	19751021	NL 1975-4521		19750416
	NL 183580	B	19880701			
	NL 183580	C	19881201			
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	FR 2267775	A1	19751114	FR 1975-11791		19750416
	FR 2267775	B1	19781110			
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	US 4018929	A	19770419	US 1975-568759		19750416
				GB 1974-16836	A	19740417
	AT 7502882	A	19771115	AT 1975-2882		19750416
				GB 1974-16836	A	19740417
	AT 345832	B	19781010	AT 1977-1898		19750416
				GB 1974-16836	A	19740417
				AT 1975-2882	A	19750416
	CH 609045	A	19790215	CH 1975-4857		19750416
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	CH 612424	A	19790731	CH 1978-2164		19750416
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				GB 1974-16836	A	19740417
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				GB 1974-16836	A	19740417
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				GB 1974-16836	A	19740417
	DD 126887	C	19770817	DD 1975-194800		19750417
				GB 1974-16836	A	19740417
	US 4042693	A	19770816	US 1976-694422		19760609
				GB 1975-16836	A	19750414
				US 1975-568759	A2	19750416
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				AT 1975-2882	A	19750416
	SE 7907618	A	19790913	SE 1979-7618		19790913
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	US 30633	E	19810602	US 1980-119601		19800207
				GB 1974-16836	A	19740417
				US 1975-568759	A5	19750416
				US 1979-31101	A1	19790418

PATENT FAMILY INFORMATION:

FAN 1976:74273

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	DE 2423765	A1	19751127	DE 1974-2423765		19740516
	DE 2423765	C2	19821014			
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	GB 1455981	A	19761117	GB 1975-16836		19750423
				DE 1974-2423765	A	19740516
	US 4055571	A	19771025	US 1975-573203		19750430
				DE 1974-2423765	A	19740516
	AT 7503676	A	19751015	AT 1975-3676		19750514
	AT 330803	B	19760726			
				DE 1974-2423765	A	19740516
	NL 7505662	A	19751118	NL 1975-5662		19750514
				DE 1974-2423765	A	19740516
	JP 50154255	A2	19751212	JP 1975-56243		19750514
				DE 1974-2423765	A	19740516
	JP 50155630	A2	19751216	JP 1975-56244		19750514
				DE 1974-2423765	A	19740516
	DD 119521	C	19760505	DD 1975-186037		19750514
				DE 1974-2423765	A	19740516
	AU 7581161	A1	19761118	AU 1975-81161		19750514
				DE 1974-2423765	A	19740516
	ES 437693	A1	19770116	ES 1975-437693		19750514
				DE 1974-2423765	A	19740516
	CH 614717	A	19791214	CH 1975-6207		19750514
				DE 1974-2423765	A	19740516
	BE 829121	A1	19751117	BE 1975-156389		19750515
				DE 1974-2423765	A	19740516
	DK 7502142	A	19751117	DK 1975-2142		19750515
	DK 136727	B	19771114			
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	BR 7503009	A	19760323	BR 1975-3832		19750515
				DE 1974-2423765	A	19740516
	ZA 7503148	A	19760428	ZA 1975-3148		19750515
				DE 1974-2423765	A	19740516
	FR 2271231	A1	19751212	FR 1975-15408		19750516
	FR 2271231	B1	19790330			
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US 4042693	A	19770816	US 1976-694422		19760609
			GB 1975-16836	A	19750414
			US 1975-568759	A2	19750416

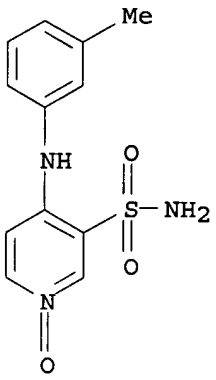
GI For diagram(s), see printed CA Issue.

AB Pyridinesulfonamides I [R = C6H4R3 (R3 = Cl, F3C, Me, MeO, H, Br, F, NO2, Et, NH2), Et, iso-Pr, 4-methylfuryl, C6H3Cl2, C6H3(CF3)Cl; R1 = alkylcarbamoyl, cyclohexylcarbamoyl, CSNHCH2CH:CH2, CONHPh, CONHC6H4Cl-p, alkylthiocarbamoyl, H, COEt; R2 = H, Me; X = NH, NMe, O, S, NEt; n = 0, 1], useful as inflammation inhibitors and diuretics, were prepared by various methods, e.g., treatment of I (R1 = H) with an isocyanate or isothiocyanate. Reaction of I (R1 = H) with an alkyl haloformate, then with an amine, gave I (R1 = substituted carbamoyl). II reacted with amines R5NHR to give I (X = NH, NMe, NEt). II was treated with NaXR (R = substituted phenyl, X = O, S) to give the corresponding I. To prepare I (R1 = acyl) or pyridothiadiazole III, I (R1 = H) was reacted with EtCOCl, (EtCO)2O, or BzCl. Treatment of I (R = alkylthiocarbamoyl) with aqueous alc. Na2CO3 and HgO gave I (R1 = alkylcarbamoyl). Oxidation of I (n = 0) gave I (n = 1). I caused 1.6-92.0% inhibition of carrageenan-induced edema in rats [best results by I (R = 3,4-Cl2C6H3, R1 = CONHCHMe2, X = NH, R2 = H, n = 0] and caused 3.6-106.4 mg/kg increase in urine of rats [best results by I (R = 3-F3CC6H4, R1 = CONHEt, X = NH, R2 = H, n = 1)].

IT 58155-58-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with isopropyl isocyanate)

RN 58155-58-1 CAPLUS

CN 3-Pyridinesulfonamide, 4-[(3-methylphenyl)amino]-, 1-oxide, monosodium salt (9CI) (CA INDEX NAME)



● Na

```
=> s l5 and isocyanate
         64394 ISOCYANATE
L6           8 L5 AND ISOCYANATE

=> d 1-8

L6   ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2005:1028131  CAPLUS
DN   143:326220
TI   Process for the preparation of torsemide and related intermediates
IN   Che, Daqing; Guntoori, Bhaskar Reddy; Duncan, Sammy Chris
PA   Brantford Chemicals Inc., Can.
SO   U.S. Pat. Appl. Publ., 5 pp.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   US 2005209460        A1    20050922    US 2004-800740         20040316
PRAI US 2004-800740             20040316
OS   CASREACT 143:326220

L6   ANSWER 2 OF 8  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2004:878376  CAPLUS
DN   141:370519
TI   Preparation of stable polymorphic form of torasemide
IN   Yeh, Wen-Lung; Khumtaveeporn, Kanjai; McKenzie, David John
PA   Torcan Chemical Ltd., Can.
SO   PCT Int. Appl., 17 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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PI   WO 2004089904        A2    20041021    WO 2004-CA366          20040312
     WO 2004089904        A3    20041223
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
     CA 2424644           AA    20041007    CA 2003-2424644        20030407
PRAI CA 2003-2424644      A     20030407

L6   ANSWER 3 OF 8  CAPLUS  COPYRIGHT 2006 ACS on STN
AN   2004:525098  CAPLUS
DN   141:71454
TI   Process for the preparation of torsemide form II
IN   Lusanna, Massimiliano; Rainoni, Mauro; Gambuzza, Filippo
PA   Cosma S.P.A., Italy
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SO Eur. Pat. Appl., 28 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1433784	A1	20040630	EP 2003-29586	20031222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004138469	A1	20040715	US 2003-744613	20031222
CA 2454037	AA	20040623	CA 2003-2454037	20031223
PRAI IT 2002-MI2749	A	20021223		

OS CASREACT 141:71454
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2003:311134 CAPLUS
DN 139:197336
TI Synthesis of a new, curative and effective medicine for hypertension and diuretic torasemide
AU Xiong, Zhenhu; Fei, Xuening
CS Tianjin Institute of Urban Construction, Tianjin, 300384, Peop. Rep. China
SO Zhongguo Yaowu Huaxue Zazhi (2002), 12(4), 219-221, 224
CODEN: ZYHZEF; ISSN: 1005-0108
PB Zhongguo Yaowu Huaxue Zazhi Bianjibu
DT Journal
LA Chinese
OS CASREACT 139:197336

L6 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 2000:892169 CAPLUS
DN 134:187827
TI Isosterism among analogues of torasemide: conformational, electronic and lipophilic properties
AU Wouters, Johan; Michaux, Catherine; Durant, Francois; Dogne, Jean Michel; Delarge, Jacques; Masereel, Bernard
CS Laboratory of Molecular Structure and Department of Pharmacy, Facultes Universitaires Notre Dame de la Paix, Namur, B-5000, Belg.
SO European Journal of Medicinal Chemistry (2000), 35(10), 923-929
CODEN: EJMCA5; ISSN: 0223-5234
PB Editions Scientifiques et Medicales Elsevier
DT Journal
LA English
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1995:301468 CAPLUS
DN 122:105616
TI Chemical structure and physico-chemical properties of torasemide
AU Kondo, Nobuo; Kimura, Masazo; Yamamoto, Madoka; Hashimoto, Hirotaka; Kawamata, Ken-ichiro; Kawano, Kensuke; Schmidt, Heinrich
CS New Product Res. Laboratories, Green Cross Corp., Hirakata, 573, Japan
SO Iyakuhin Kenkyu (1994), 25(9), 734-50
CODEN: IYKEDH; ISSN: 0287-0894
PB Nippon Koteisho Kyokai
DT Journal

LA Japanese

L6 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1980:128730 CAPLUS
DN 92:128730
TI 4-Amino-3-sulfamoylpyridine derivatives and their use
IN Lapiere, Charles; Delarge, Jacques; Thunus, Leopold; Georges, Andre; De Ridder, Rene; Ghys, Arlette
PA Christiaens, A., S. A., Belg.
SO Eur. Pat. Appl., 32 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 3383	A2	19790808	EP 1979-200037	19790122
	EP 3383	A3	19790905		
	EP 3383	B1	19830209		
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	GB 1593609	A	19810722	GB 1978-3918	19780131
	ES 476658	A1	19790716	ES 1979-476658	19790109
	ZA 7900090	A	19801029	ZA 1979-90	19790109
	IL 56407	A1	19830515	IL 1979-56407	19790110
	AU 7943317	A1	19790809	AU 1979-43317	19790112
	AU 524287	B2	19820909		
	CA 1124720	A1	19820601	CA 1979-319934	19790119
	BE 873656	A1	19790723	BE 1979-193040	19790123
	US 4244950	A	19810113	US 1979-6154	19790124
	FR 2416225	A1	19790831	FR 1979-2109	19790126
	FR 2416225	B1	19811106		
	AT 7900594	A	19840115	AT 1979-594	19790126
	AT 375646	B	19840827		
	DD 141309	C	19800423	DD 1979-210692	19790129
	HU 20570	O	19810828	HU 1979-CI1905	19790130
	HU 178203	P	19820328		
PRAI	GB 1978-3918		19780131		

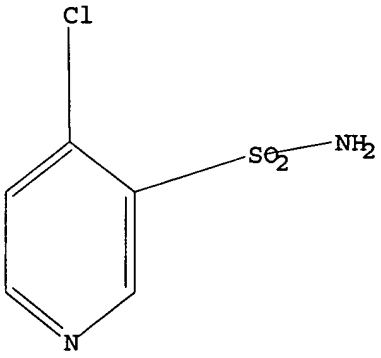
OS CASREACT 92:128730; MARPAT 92:128730

L6 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN
AN 1976:59218 CAPLUS
DN 84:59218
TI Pyridine derivatives
IN Delarge, Jacques E.; Lapiere, Charles L.; Georges, Andre H.
PA Christiaens, A., S. A., Belg.
SO Ger. Offen., 39 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2516025	A1	19751106	DE 1975-2516025	19750412
	DE 2516025	C2	19881103		
	ZA 7502243	A	19760331	ZA 1975-2243	19750408
	BE 827844	A1	19751013	BE 1975-155330	19750411
	ES 436581	A1	19770401	ES 1975-436581	19750414
	IL 47084	A1	19790131	IL 1975-47084	19750414
	SE 7504409	A	19751020	SE 1975-4409	19750416

	SE 424320	B	19820712		
	SE 424320	C	19821021		
	NL 7504521	A	19751021	NL 1975-4521	19750416
	NL 183580	B	19880701		
	NL 183580	C	19881201		
	FR 2267775	A1	19751114	FR 1975-11791	19750416
	FR 2267775	B1	19781110		
	US 4018929	A	19770419	US 1975-568759	19750416
	AT 7502882	A	19771115	AT 1975-2882	19750416
	AT 345832	B	19781010	AT 1977-1898	19750416
	CH 609045	A	19790215	CH 1975-4857	19750416
	CH 610890	A	19790515	CH 1978-2163	19750416
	CH 612424	A	19790731	CH 1978-2164	19750416
	CA 1070313	A1	19800122	CA 1975-224805	19750416
	JP 50142571	A2	19751117	JP 1975-47371	19750417
	JP 59051536	B4	19841214		
	DD 121936	C	19760905	DD 1975-185508	19750417
	DD 126887	C	19770817	DD 1975-194800	19750417
	US 4042693	A	19770816	US 1976-694422	19760609
	US 4055650	A	19771025	US 1976-694421	19760609
	ES 453328	A1	19771101	ES 1976-453328	19761115
	ES 453327	A1	19771116	ES 1976-453327	19761115
	ES 453329	A1	19771116	ES 1976-453329	19761115
	AT 7701897	A	19771115	AT 1977-1897	19770318
	AT 7701899	A	19771115	AT 1977-1899	19770318
	SE 7907618	A	19790913	SE 1979-7618	19790913
	US 30633	E	19810602	US 1980-119601	19800207
PRAI	GB 1974-16836	A	19740417		
	GB 1975-16836	A	19750414		
	AT 1975-2882	A	19750416		
	US 1975-568759	A2	19750416		
	US 1979-31101	A1	19790418		

L7 STR



Structure attributes must be viewed using STN Express query preparation.

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L8 10 SEA SSS FUL L7

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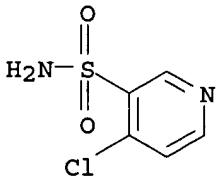
L8 ANSWER 1 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 777854-85-0 REGISTRY
ED Entered STN: 10 Nov 2004
CN 3-Pyridinesulfonamide, 4-chloro-, monohydrochloride (9CI) (CA INDEX NAME)
MF C5 H5 Cl N2 O2 S . Cl H
SR CA
LC STN Files: CA, CAPLUS
CRN (33263-43-3)



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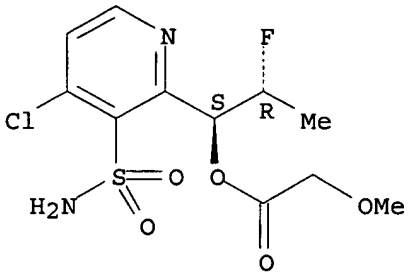
1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 2 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN

RN 412928-85-9 REGISTRY
ED Entered STN: 09 May 2002
CN Acetic acid, methoxy-, (1R,2S)-1-[3-(aminosulfonyl)-4-chloro-2-pyridinyl]-2-fluoropropyl ester, rel- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C11 H14 Cl F N2 O5 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL

Relative stereochemistry.



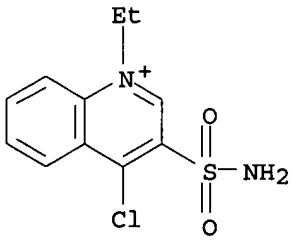
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 3 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 198829-26-4 REGISTRY
ED Entered STN: 19 Dec 1997
CN Quinolinium, 3-(aminosulfonyl)-4-chloro-1-ethyl-, ethyl sulfate (9CI) (CA INDEX NAME)
MF C11 H12 Cl N2 O2 S . C2 H5 O4 S
SR CA
LC STN Files: CA, CAPLUS

CM 1

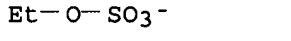
CRN 198829-25-3
CMF C11 H12 Cl N2 O2 S



CM 2

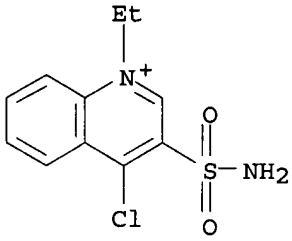
CRN 48028-76-8

CMF C2 H5 O4 S



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

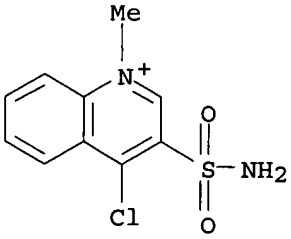
L8 ANSWER 4 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 198829-25-3 REGISTRY
ED Entered STN: 19 Dec 1997
CN Quinolinium, 3-(aminosulfonyl)-4-chloro-1-ethyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C11 H12 Cl N2 O2 S
CI COM
SR CA



L8 ANSWER 5 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 198829-24-2 REGISTRY
ED Entered STN: 19 Dec 1997
CN Quinolinium, 3-(aminosulfonyl)-4-chloro-1-methyl-, methyl sulfate (9CI)
(CA INDEX NAME)
MF C10 H10 Cl N2 O2 S . C H3 O4 S
SR CA
LC STN Files: CA, CAPLUS

CM 1

CRN 198829-23-1
CMF C10 H10 Cl N2 O2 S



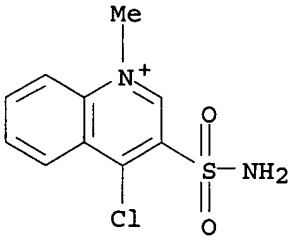
CM 2

CRN 21228-90-0
CMF C H3 O4 S

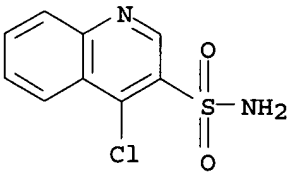
Me—O—SO_3^-

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 6 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 198829-23-1 REGISTRY
ED Entered STN: 19 Dec 1997
CN Quinolinium, 3-(aminosulfonyl)-4-chloro-1-methyl- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C10 H10 Cl N2 O2 S
CI COM
SR CA



L8 ANSWER 7 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 157494-10-5 REGISTRY
ED Entered STN: 07 Sep 1994
CN 3-Quinolinesulfonamide, 4-chloro- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C9 H7 Cl N2 O2 S
SR CA
LC STN Files: CA, CAPLUS, CASREACT

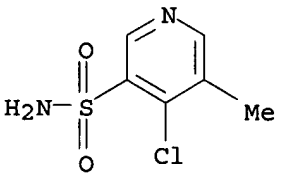


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 8 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 69300-01-2 REGISTRY

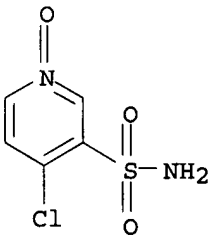
ED Entered STN: 16 Nov 1984
CN 3-Pyridinesulfonamide, 4-chloro-5-methyl- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 4-Chloro-5-methylpyridine-3-sulfonamide
FS 3D CONCORD
MF C6 H7 Cl N2 O2 S
LC STN Files: BEILSTEIN*, CA, CAPLUS, TOXCENTER
(*File contains numerically searchable property data)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 9 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 58155-57-0 REGISTRY
ED Entered STN: 16 Nov 1984
CN 3-Pyridinesulfonamide, 4-chloro-, 1-oxide (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 4-Chloro-3-sulfamoylpyridine N-oxide
CN NSC 325677
FS 3D CONCORD
MF C5 H5 Cl N2 O3 S
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, IFICDB, IFIPAT, IFIUDB, TOXCENTER, USPATFULL
(*File contains numerically searchable property data)

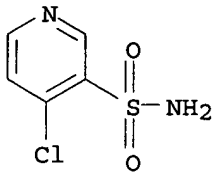


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8 ANSWER 10 OF 10 REGISTRY COPYRIGHT 2006 ACS on STN
RN 33263-43-3 REGISTRY
ED Entered STN: 16 Nov 1984
CN 3-Pyridinesulfonamide, 4-chloro- (8CI, 9CI) (CA INDEX NAME)

OTHER NAMES:
CN 4-Chloro-3-pyridinesulfonamide
CN 4-Chloro-3-pyridylsulfonamide
FS 3D CONCORD
MF C5 H5 Cl N2 O2 S
CI COM
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, CHEMCATS, CHEMLIST, CSCHEM, IFICDB, IFIPAT, IFIUDB, PS, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: EINECS**
(**Enter CHEMLIST File for up-to-date regulatory information)



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

33 REFERENCES IN FILE CA (1907 TO DATE)
33 REFERENCES IN FILE CAPLUS (1907 TO DATE)

10/800,740 2/3/06